(FILE 'HOME' ENTERED AT 10:48:06 ON 29 MAY 2001)

FILE 'CAPLUS' ENTERED AT 10:48:11 ON 29 MAY 2001
L1 536 S RACEMI?(L)(THREO OR ERYTHRO)
L2 0 S L1 (L)(AMINO(2W)(ACID PR ACIDS))
L3 35 S L1 (L)(AMINO(2W)(ACID OR ACIDS))
L4 2 S L3 AND (HOMOPROLIN? OR PROLIN?)

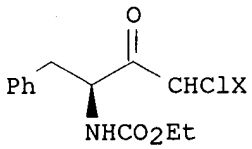
=> s l3 and (racemiza? or racemisa?)
7731 RACEMIZA?
7 RACEMISA?
L5 7 L3 AND (RACEMIZA? OR RACEMISA?)

=> d bib abs 1-7

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1998:147299 CAPLUS
DN 128:205135
TI Process for preparing .beta.-amino-.alpha.-hydroxy acid derivatives
IN Matsumoto, Shingo; Matsuo, Kazuhiko; Sugawa, Tadashi; Moroshima, Tadashi; Inoue, Kenji
PA Kaneka Corporation, Japan; Matsumoto, Shingo; Matsuo, Kazuhiko; Sugawa, Tadashi; Moroshima, Tadashi; Inoue, Kenji
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9807687	A1	19980226	WO 1997-JP2844	19970818
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 10059909	A2	19980303	JP 1996-234728	19960816
	EP 930292	A1	19990721	EP 1997-935797	19970818
	R: CH, DE, ES, FR, GB, IT, LI, NL				
	US 6020518	A	20000201	US 1999-242358	19990514
	US 6087530	A	20000711	US 1999-437426	19991115
PRAI	JP 1996-234728	A	19960816		
	WO 1997-JP2844	W	19970818		
	US 1999-242358	A3	19990514		

OS CASREACT 128:205135; MARPAT 128:205135
GI



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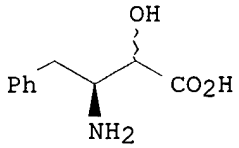
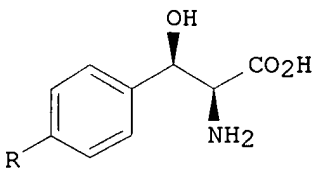


II

AB An efficient and com. feasible process for prepg. .beta.-**amino**

-.alpha.-hydroxy **acid** derivs. is described. The process is characterized by hydrolyzing an .alpha.-amino-.alpha.',.alpha.'-dihaloketone deriv. represented by general formula of P1P2NCHR1COCHX1X2 in the presence of a base, optionally followed by the protection of the amino group, to prep. a .beta.-**amino**-.alpha.-hydroxy **acid** deriv. represented by general formula Q1Q2NCHR1CH(OH)CO2H. This process does not use toxic sodium cyanide and proceeds hardly with **racemization** and predominantly gives **erythro** isomers which are useful as intermediates for HIV protease inhibitors and antiviral agents. Thus, a soln. of (S)-N-(ethoxycarbonyl)phenylalanine Me ester, sodium chloroacetate, and MgCl2 in THF was stirred at 40.degree. for 3 h to give a reaction soln., to which was added over .apprx.30 min at 10.degree. a reaction soln. prepd. by stirring BuMgCl and diisopropylamine in THF at 40.degree. for 2 h, and the resulting mixt. was stirred at 40.degree. for 2 h to give a carbamate ester (I; X = H). This compd. was treated with SO2Cl2 and p-MeC6H4SO3H.H2O in EtOAc under stirring at 40.degree. for 40 h to give I (X = Cl), which was stirred with a mixt. of toluene and 2M aq. NaOH at 40.degree. for 48 h to give, after sepn. of the aq. layer and chromatog. on a column of Diaion SP207, 86% 3-amino-2-hydroxy-4-phenylbutyric acid (II) in a (2S,3S)- and (2R,3S)-isomer ratio of 84:16.

L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1998:16459 CAPLUS
DN 128:23109
TI Synthesis of 4-Sulfur-Substituted (2S,3R)-3-Phenylserines by Enzymic Resolution. Enantiopure Precursors for Thiamphenicol and Florfenicol
AU Kaptein, Bernard; van Dooren, Thei J. G. M.; Boesten, Wilhelmus H. J.; Sonke, Theo; Duchateau, Alexander L. L.; Broxterman, Quirinus B.; Kamphuis, Johan
CS Organic Chemistry Biotechnology Section Fine Chemicals, DSM Research, Geleen, 6160 MD, Neth.
SO Org. Process Res. Dev. (1998), 2(1), 10-17
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 128:23109
GI



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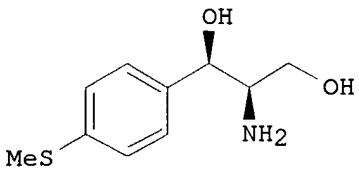


II

AB Enantiomerically pure 4-methylthio- and 4-methylsulfonyl-substituted (2S,3R)-3-phenylserines I (R = MeS, MeSO2) are prepd. by enzymic resoln.

of the corresponding **racemic threo** amides using the amidase from Ochrobactrum anthropi NCIMB 40321. The unwanted (2R,3S)-amide enantiomers are sepd. from the enantiopure **amino acids** and easily **racemized** after Schiff base formation with the corresponding 4-(methylthio)- and 4-(methylsulfonyl)benzaldehyde.

The **racemization** can be combined with the prepn. of the **racemic** amides by aldol reaction under crystn. conditions to yield only the **threo** isomers. Enantiopure phenylserines I (R = MeS, MeSO2) are thus obtained in 78% and 62% overall yields starting from the corresponding substituted benzaldehydes. I (R = MeS) is reduced to diol II with NaBH4/H2SO4 and can be used for the synthesis of thiamphenicol and florfenicol.

L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1995:647241 CAPLUS
DN 123:340847
TI Synthesis of L-threo- and L-erythro-[1-13C, 2,3-2H2]amino acids: novel probes for conformational analysis of peptide side chains
AU Oba, Makoto; Ueno, Ryuichi; Fukuoka, Mika; Kainosho, Masatsune; Nishiyama, Kozaburo
CS Dep. Mater. Sci. Technol., Tokai Univ., Shizuoka, 410-03, Japan
SO J. Chem. Soc., Perkin Trans. 1 (1995), (12), 1603-9
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 123:340847
AB An efficient and convenient route for the prepn. of L-**threo**- and L-**erythro**-[1-13C, 2,3-2H2]**amino acids** (5) as probes for the conformational anal. of peptide side chains by NMR spectroscopy is described. Stereoselective incorporation of deuterium into the .alpha.,.beta.-positions of **amino acid** 5 was accomplished by catalytic deuteration of dehydroamino acid derivs., followed by a combination of enzymic optical resoln. and **racemization** at the 2-position. Using these doubly labeled **amino acids**, it was possible to obtain vicinal coupling consts. between carbonyl carbon and prochiral .beta.-protons, J(13C1-1H.beta.1) and J(13C1-1H.beta.2), through 13C NMR spectroscopy alone. The coupling consts. were used to det. fractional populations of rotamers, with respect to the C.alpha.-C.beta. bond, of the **amino acids**.

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1993:473111 CAPLUS
DN 119:73111
TI Method for **racemization** of optically active .alpha.-hydroxy-.beta.-amino acid ester
IN Sato, Hisao; Myazawa, Yoshinobu; Koshigoe, Taichi
PA Nippon Kayaku Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

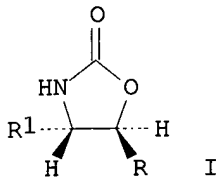
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI JP 05025107 A2 19930202 JP 1991-203605 19910719
OS MARPAT 119:73111
AB Optically active R1CH2C*H(NR2R3)CH(OH)CO2R4 (R1 = C1-8 hydrocarbyl; R2, R3
= protecting group; R4 = ester residue; C* denotes an asym. C atom having R or S configuration) are **racemized** by oxidn. to .alpha.-oxo-.beta.-**amino acid** esters followed by **racemization** and then redn. of the oxo group to the HO group. **Threo**-N-tert-butoxycarbonyl-a-hydroxy-.beta.-**amino acid** iso-Pr or Me ester or **threo**-N,N-dibenzyl-.alpha.-hydroxy-.beta.-**amino acid** benzyl or Me ester are selectively prepd. by reducing the corresponding .alpha.-oxo-.beta.-**amino acid** esters. The **threo**-.alpha.-hydroxy-.beta.-**amino acid** esters, e.g. 3-**amino**-2-hydroxybutyric **acid** ester, are useful as intermediates for anticancer bestatin and antihypertensive renin inhibitors. Thus, oxidn. of (2R,3S)-3-(N-tert-butoxylcarbonylamino)-4-cyclohexyl-2-hydroxybutyric acid iso-Pr ester with SO3.pyridine complex in
CH2Cl2 contg. Et3N at ice-temp. to room temp. and **racemization** of the resulting (S)-3-(N-tert-butoxylcarbonylamino)-4-cyclohexyl-2-oxobutyric acid iso-Pr ester (I)(96% yield) by stirring with Et3N fluxing gave after silica gel chromatog. 98% **racemic** I which 90.5 g) was hydrogenated over 5% Rh-C in EtOAc at 70.degree. for 6 h to give 0.35 g **threo**-3-(N-tert-butoxylcarbonylamino)-4-cyclohexyl-2-hydroxybutyric acid iso-Pr ester and 0.09 g **erythro**-isomer.

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1991:43511 CAPLUS
DN 114:43511
TI .beta.-Amino alcohols from amino acids: chelation control via Schiff bases
AU Polt, Robin; Peterson, Matt A.
CS Chem. Dep., Univ. Arizona, Tucson, AZ, 85721, USA
SO Tetrahedron Lett. (1990), 31(35), 4985-6
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 114:43511
AB Sequential addn. of dibal and organolithium or Grignards to Schiff base esters derived from **amino acids** provides a simple route to .beta.-amino alcs. The reaction proceedes without **racemization**, and with high **threo** selectivity. Several representative sphingosines are synthesized.

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1990:217490 CAPLUS
DN 112:217490
TI Aluminum-mediated one-pot conversion of .alpha.-amino acid esters to threo
2-amino alcohols with high diastereoselectivity
AU Kano, Shinzo; Yuasa, Yoko; Yokomatsu, Tsutomu; Shibuya, Shiroshi
CS Tokyo Coll. Pharm., Hachioji, 192-03, Japan
SO Chem. Pharm. Bull. (1989), 37(10), 2867-9
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 112:217490

GI



I

AB Redn. of .alpha.-**amino acid** esters PhCH2O2C-X-OMe (X = Ala, Leu, Phe) with dibal, followed by treatment with Grignard reagents RMgBr (R = Me, Et, Ph, PhCH2, CH2:CHCH2) in a one-pot manner gave the corresponding **threo**-2-amino alcs. with high diastereoselectivity without **racemization**. The stereoselectivity was proved by cyclization to the corresponding oxazolidinones I (R = same; R1 = Me, CH2CHMe2, CH2Ph).

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN 1963:9048 CAPLUS
DN 58:9048
OREF 58:1527h,1528f-h,1529a
TI On the Erlenmeyer reaction. I. Mechanism of threo-.beta.-phenylserine formation
AU Kaneko, Takeo; Harada, Kaoru
CS Osaka Univ., Nakanoshima
SO Bull. Chem. Soc. Japan (1961), 34, 1314-18
DT Journal
LA Unavailable
AB From 37 g. H2NCH2CO2H (I) and 70 g. NaOH in 400 ml. water shaken with 1.06 g. BzH in 100 ml. EtOH with cooling 0.5 g. aliquots were taken at intervals, acidified with AcOH and a little water, the BzH extd. with ether, the aq. layer adjusted to pH 10 with aq. Na2CO3, washed with ether, neutralized, evapd. in vacuo, and the residue paper-chromatographed and dinitrophenylated. I-phenylserine (II) ratio was detd. by paper chromatography (4:1:1 BuOH-AcOH-H2O), hot-water elution, and ninhydrin. **threo**-II (III) and **erythro**-II (IV) were isolated (Seki, CA 54, 14342i), the dinitrophenylamino acid mixts. were chromatographed on Amberlite IR-112, eluted (10:1:1 pH 3.1 0.1M citric acid buffer-EtCOMe-tetrahydrofuran), and sepd. into fractions of dinitrophenyl derivs. of I, IV, and III, and dinitrophenol, whose 430 m.mu. band disappeared at pH 1. The **amino acid** ratios were (time in hrs., % I, III, IV): 0.25, 48, 28, 24; 0.5, 39, 39, 22; 1.5, 23, 52, 25; 4.5, 18, 62, 20; 24, 11, 73, 16; 50, 9, 77, 14. III or IV mixed with EtOH, BzH, and NaOH in equimolar or smaller proportions was recovered unchanged (identified by chromatography); excess alkali yielded N-benzylidene deriv. of III, and I, III, and IV in the mother liquor. (-)-IV was **racemized** in 4.5 hrs. and gave III; without BzH it retained about 76% of its optical activity after 4.5 hrs.; p-NO2 deriv. of III showed conversion to IV. The mechanism involved **racemization** of .alpha.-and .beta.-C atoms and the N-benzylidene group. N-Bz deriv. of

IV quinine salt (V), m. 214-17.degree. (decompn.) (99% EtOH), [.alpha.]22D -105.0.degree. (c 0.401, 99% EtOH), was formed in hot)t MeOH-Me2CO. In EtOH with concd. aq. NH3, it gave a ppt. of (-)-N-Bz deriv. of IV, m. 188-9.degree. decompn.)(35% EtOH), [.alpha.]23D -29.3.degree. (c 0.647, 995 EtOH), from which was obtained with 15% HBr (-)-IV dioxane adduct, [.alpha.]26D -53.7.degree. (c 1.091, 2N HCl) (reduced by P and HI to D-phenylalanine), and free (-)-IV, m. 193.degree. (decompn.) (H2O-EtOH), [.alpha.]25D -68.0.degree. (c 0.426, 2N HCl), sweet taste. The mother liquor of V yielded (+)-N-Bz deriv. of IV, m. 182-3.degree. (decompn.), [.alpha.]25D 22.6.degree. (c 0.973, 99% EtOH), and L-IV, m. 179-83.degree.
(decompn.), [.alpha.]25D 51.2.degree. (c 0.757, 2N HCl), bitter taste.